

## FORM PTO-1449

INFORMATION DISCLOSURE CITATION

Attorney Docket 23138S	Serial Number 09/134,419
Applicant	Ross, et al.
Filing Date August 14, 1998	Group Art Unit 1614

## U.S. PATENT DOCUMENTS

Examiner Initial		Document Number	Date	Name	Class	Sub-Class	Filing Date
PL	AA	5,736,516	4/7/98	Louis	514	12	2/6/97
	AB	5,700,909	12/23/97	O'Brien	530	326	4/21/94
	AC	5,693,645	12/2/97	Sharpe, et al.	514	278	12/23/92
	AD	5,688,765	11/18/97	Sullivan	514	12	6/7/95
	AE	5,667,968	9/16/97	LaVail, et al.	514	12	11/4/94
	AF	5,641,750	6/24/97	Louis	514	12	11/29/95
	AG	5,641,749	6/24/97	Louis	514	12	11/29/95
	AH	5,632,984	5/27/97	Wong	424	85.4	9/28/94
	AI	5,620,921	4/15/97	Sullivan	514	178	9/21/93
	AJ	5,532,248	7/2/96	Goulet, et al.	514	291	5/12/95
	AK	5,527,533	6/18/96	Tso, et al.	424	422	10/27/94
	AL	5,514,686	5/7/96	Mochizuki, et al.	514	297	4/24/92
↓	AM	5,468,752	11/21/95	Freeman	514	272	4/4/94
PL	AN	5,457,111	10/10/95	Luly, et al.	514	291	11/9/93

## FOREIGN PATENT DOCUMENTS

		Document Number	Date	Country	Class	Sub-Class	Translation
PL	AO	WO 9827975	7/2/98	PCT			Yes

## OTHER (Including Author, Title, Date, Pertinent Pages, etc.)

AP

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PL	BA	5,441,977	8/15/95	Russo, et al.	514	411	3/1/94
	BB	5,441,937	8/15/95	Wallace, et al.	514	21	1/21/94
	BC	5,387,589	2/7/95	Kulkarni	514	291	11/17/92
	BD	5,368,865	11/29/94	Asakura, et al.	424	489	7/27/93
	BE	5,284,826	2/8/94	Eberle	514	11	8/27/92
	BF	5,258,389	11/2/93	Goulet, et al.	514	291	11/9/92
	BG	5,252,319	11/12/93	Babcock, et al.	424	78.04	2/19/92
	BH	5,244,902	9/14/93	Sharpe, et al.	514	278	2/5/92
	BI	5,198,454	3/30/93	Chiou, et al.	514	369	12/3/91
	BJ	5,194,434	3/16/93	Chiou, et al.	514	227.2	12/3/91
	BK	5,192,773	3/9/93	Armistead, et al.	514	315	1/2/90
	BL	5,189,042	2/23/93	Goulet, et al.	514	291	8/22/91
PL	BM	5,011,844	4/30/91	Fehr	514	291	8/25/89
	BN	4,839,342	6/13/89	Kaswan	514	11	9/3/87

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PC	AA	5,703,088	12/30/97	Sharpe et al.			6/4/92
↓	AB	5,631,017	5/20/97	Sharpe et al.			3/26/93
↓	AC	5,614,547	3/25/97	Hamilton et al.			6/7/95
PC	AD	5,543,423	8/6/96	Zelle et al.			1/23/95

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		Document Number	Date	Country	Class	Sub-Class	Trans-lation
PC	AE	DE4015255	11/14/91	Germany			No
↓	AF	DE3931051	3/29/90	Germany			No
↓	AG	DE3508251	9/11/86	Germany			No
↓	AH	EP-652229	5/10/95	EPO			Yes
↓	AI	EP-572365	12/1/93	EPO			Yes
PC	AJ	EP-468339	1/29/92	EPO			Yes

## OTHER (Including Author, Title, Date, Pertinent Pages, etc.)

PC	AK	Ando, Takao et al., "Formation of Crossed Phenazine from the Reaction between Tetra-p-anisyl- and Tetra-p-tolyl-hydrazines in Liquid Sulphur Dioxide," Chem. Comm., S. Chem. Comm., 1975, 989.
↓	AL	Andrus, Merrit B., "Structure-based design of an acyclic ligand that bridges FKBP12 and calcineurin," J. Am. Chem. Soc., 1993, 115(2), 10420-1.
↓	AM	Armistead, D.M. et al., "Design, synthesis and structure of non-macrocyclic inhibitors of FKBP12, the major binding protein for the immunosuppressant FK506," Acta Crystallogr. 1995, D51(4), 522-8.
↓	AN	Askin, D. et al., "Chemistry of FK-506: benzilic acid rearrangement of the tricarbonyl system," Tetrahedron Lett., 1989, 30(6), 671-4.
↓	AO	Askin, D. et al., "Efficient Degradation of FK-506 to a versatile synthetic intermediate," J. Org. Chem., 1990, 55(20), 5451-4.
PC	AP	Baader, Ekkehard et al., "Inhibition of prolyl 4-hydroxylase by oxaryl amino acid derivatives in vitro, in isolated microsomes and in embryonic chicken tissues," Biochem. J., 1994, 300(2), 525-30.

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<i>Patricia J. Lee</i>	10-10-06

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PL	BA	5,516,797	5/14/96	Armistead et al.	—	—	4/11/94
PL	BB	5,447,915	9/5/95	Schreiber et al.	—	—	8/28/92
PL	BC	5,424,454	6/13/95	Burbaum, B.W. et al.	—	—	5/26/94
PL	BD	5,414,083	5/9/95	Hackl et al.	—	—	1/24/94

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PL	BE	EP-419049	3/27/91	EPO	—	—	Yes
PL	BF	EP-405994	1/2/91	EPO	—	—	Yes
PL	BG	EP-378318	7/18/90	EPO	—	—	Yes
PL	BH	EP-352000	1/24/90	EPO	—	—	Yes
PL	BI	EP-333174	9/20/89	EPO	—	—	Yes
PL	BJ	EP-260118	3/16/88	EPO	—	—	Yes
PL	BK	EP-196841	10/8/86	EPO	—	—	Yes

## OTHER (Including Author, Title, Date, Pertinent Pages, etc.)

PL	BL	Baumann, K. et al., "Synthesis and oxidative cleavage of the major equilibrium products of ascomycin and Fk 506," <i>Tetrahedron Lett.</i> , 1995, 26(13), 2231-4.
PL	BM	Bender, D., et al., "Periodate oxidation of $\alpha$ -keto $\gamma$ -lactams. Enol oxidation and $\beta$ -lactam formation. Mechanism of periodate hydroxylation reactions," <i>J. Org. Chem.</i> , 1978, 43(17), 3354-62.
PL	BN	Birkenshaw, T.N. et al., "Synthetic FKBP12 Ligands. Design and Synthesis of Pyranose Replacements," <i>Bioorganic &amp; Medicinal Chemistry Letters</i> , (1994) 4:21, 2501-2506.
PL	BO	Boulmedais, Ali et al., "Stereochemistry of Electrochemical Reduction of Optically Active $\alpha$ -ketoamides. II. Electroreduction of benzoylformamides derived from S-(-)-proline," <i>Bull. Soc. Chim. Fr.</i> , 1989, (2), 185-91. (French)
PL	BP	Cameron, Andrew et al., "Immunophilin FK506 binding protein associated with inositol 1,4,5-triphosphate receptor modulates calcium flux," <i>Proc. Natl. Acad. Sci. USA</i> , 1995, 92, 1784-1788.
PL	BQ	Caufield, Craig E. and Musser, John H., "Macrocyclic Immunomodulators," <i>Annual Reports in Medicinal Chemistry</i> , Johns (Ed.), Academic Press, Chapter 21, 195-204, 1989.

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PL	CA	5,359,138	10/25/94	Takeuchi et al.			6/29/92
PL	CB	5,330,993	7/19/94	Armistead et al.			7/2/91

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PL	CC	EP--88350	9/14/83	EPO			Yes
PL	CD	EP--73143	3/2/83	EPO			Yes

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PL	CE	Caffrey, M.V. et al., "Synthesis and Evaluation of Dual Domain Macroyclic FKBP12 Ligands," <i>Bioorganic &amp; Medicinal Chemistry Letters</i> , (1994) 4:21, 2507-2510.
1	CF	Chakraborty, TK et al., "Design and Synthesis of a rapamycin-based high affinity binding FKBP12 ligand," <i>Chem. Biol.</i> , 1995, 2(3), 157-61.
	CG	Chakraborty, Tushar K., "Studies towards the development of cyclic peptide-based analogs of macrolide immunosuppressants," <i>Pure Appl. Chem.</i> , 1996, 68(3), 565-568.
	CH	Coleman, R., and Danishefsky, S., "Degradation and manipulations of the immunosuppressant FK506: preparation of potential synthetic intermediates," <i>Heterocycles</i> , 1989, 28(1), 157-61.
	CJ	Colombo, L. et al., "Enantioselective synthesis of secondary alcohols in the presence of chiral ligands," <i>Tetrahedron</i> , 1982, 38(17), 2725-7.
	CK	Cunliffe, C. Jane et al., "Novel inhibitors of prolyl 4-hydroxylase. 3. Inhibition by the substrate analog N-oxaloglycine and its derivatives," <i>J. Med. Chem.</i> , 1992, 35(14), 2652-8.
	CL	Cushman, D.W. et al., "Design of potent competitive inhibitors of angiotensin-converting enzyme. Carboxyalkanoyl and mercaptoalkanoyl amino acids," <i>Biochemistry</i> , 1977, 16(25), 5484-91.
↓	CM	Dawson, Ted M. et al., "Immunosuppressant FK506 enhances phosphorylation of nitric oxide synthase and protects against glutamate neurotoxicity," <i>Proc. Natl. Acad. Sci. USA</i> , 1993, 90, 9808-12.
PL	CN	Dawson, T.M. et al., "The immunophilins, FK506 binding and cyclophilin, are discretely localized in the brain: relationship to calcineurin," <i>Neuroscience</i> , 1994, 62(2), 569-80.

Examiner <i>Jacob Teng</i>	Date Considered <i>10-10-06</i>
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## U. S. PATENT DOCUMENTS

Examiner Initial		Document Number	Date	Name	Class	Sub-Class	Filing Date
PL	DA	5,319,098	6/7/94	Burbaum, B.W. et al.			5/26/94
PL	DB	5,294,603	3/15/94	Rinehart, K.L.			2/18/92

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		Document Number	Date	Country	Class	Sub-Class	Trans-lation
PL	DC	EP--50800	5/5/82	EPO			Yes
1	DD	EP--48159	3/24/82	EPO			Yes
	DE	EP--12401	6/25/80	EPO			Yes
✓	DF	GB2247456	3/4/92	United Kingdom			Yes
PL	DG	JP05178824	7/20/93	Japan			No

## OTHER (Including Author, Title, Date, Pertinent Pages, etc.)

PL	DG	Effenberger F. et al., "Diastereoselective addition of benzenesulfenyl chloride to 1-acryloylproline esters," Chemical Abstracts, 1989, 110:154846h.
	DH	Egbertson, M. and Danishefsky, S. "A synthetic route to the tricarbonyl region of FK-506," J. Org. Chem., 1989, 54(1), 11-12.
	DI	Feutren, Gilles, "The Optimal use of Cyclosporin A in Autoimmune Diseases," J. of Autoimmunity, 1992, 5, 183-95.
	DJ	Finberg, Robert W. et al., "Prevention of HIV-1 Infection and Preservation of CD4 Function by the Binding of CPFs to gp120," Science, 1990, 249, 287-91.
✓	DK	Fisher, Matthew et al., "On the remarkable propensity for carbon-carbon bond cleavage reactions in the C(8)-C(10) region of FK-506," J. Org. Chem., 1991, 56(8), 2900-7.
PL	DL	Fry, Lionel, "Psoriasis: Immunopathology and Long-term treatment with Cyclosporin," J. of Autoimmunity, 1992, 5, 277-83.

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Examiner Initial		Document Number	Date	Name	Class	Sub-Class	Filing Date
PL	EA	5,252,579	10/12/93	Skotnicki et al.			2/16/93
PL	EB	5,147,877	9/15/92	Goulet			9/12/91

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		Document Number	Date	Country	Class	Sub-Class	Trans-lation
PL	EC	JP04149166	5/22/92	Japan			No
PL	ED	WO9824805	6/11/98	PCT			Yes
PL	EE	WO9820893	5/22/98	PCT			Yes

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PL	EF	Furber, Mark, "FKBP-12-ligand-calceineurin interactions: analogs of SBL506," J. Am. Chem. Soc., 1995, 117(27), 7267-8.
	EG	Furber, M. et al., "Studies relating to the immunosuppressive activity of FK506," Tetrahedron Lett., 1993, 34(8), 1351-4.
	EH	Goodfellow, Val S. et al., "p-Nitrophenyl 3-diazopyruvate and diazopyruvamides, a New Family of Photoactivatable Cross-Linking Bioprobes," Biochemistry, 28(15), 6346-60.
	EI	Goulet, Mark T., and Boger, Joshua, "Degradative studies on the tricarbonyl containing macrolide rapamycin," Tetrahedron Lett., 1990, 31(34), 4845-8.
	EJ	Goulet, Mark T. and Boger, Joshua, "Degradative studies on the tricarbonyl containing macrolide rapamycin," Tetrahedron Lett., 1991, 32(45), 6454.
	EK	Haeusler, Johannes and Schmidt, Ulrich, "Amino acids and peptides. IX. Pyruv oyl amino acids," Chem. Ber., 1974, 107(1), 145-51. (German)
	EL	Harding, M.W., et al., "A receptor for the immunosuppressant FK506 is a cis-trans peptidyl-prolyl isomerase," Nature Lett., 1989, 341, 758-60.
	EM	Hauske, J.R. et al. "Design and Synthesis of Novel FKBP Inhibitors," J. of Medicinal Chemistry, (1992) 35, 4284-4296.
	EN	Hauske, James R. et al., "Investigation of the effects of synthetic, non-cytotoxic immunophilin inhibitors on MDR," Bioorg. Med. Chem. Lett., 1994, 4(17), 2097-102.
↓	EO	Hayward, C.M. et al., "Total Synthesis of rapamycin via a novel titanium-mediated aldol macrocyclization reaction," J. Am. Chem. Soc., 1993, 115(20), 9345-6.
PL	EP	Hayward, C.M. et al., "An application of the Suarez reaction to the regiospecific synthesis of the C <sub>28</sub> -C <sub>42</sub> segment of rapamycin," 3989-92.

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PL	FA	4,818,749	4/4/89	Gold, E.H. et al.			4/4/89
PL	FB	4,808,573	2/28/89	Gold, E.H. et al.			2/28/89

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PL	FC	WO9820892	5/22/98	PCT			Yes
	FD	WO9820891	5/22/98	PCT			Yes
	FE	WO9636630	11/21/96	PCT			Yes
	FF	WO9633187	10/24/96	PCT			Yes
	FG	WO9633184	10/24/96	PCT			Yes
	FH	WO9617816	6/13/96	PCT			Yes
	FI	WO9615101	5/23/96	PCT			Yes
	FJ	WO9606097	2/29/96	PCT			Yes
	FK	WO9603318	10/24/96	PCT			Yes
↓	FL	WO9535367	12/28/95	PCT			Yes
PL	FM	WO9535308	12/28/95	PCT			Yes

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PL	FN	Holt, D.A. et al., "Design, Synthesis, and Kinetic Evaluation of High-Affinity FKBP Ligands and the X-ray Crystal Structures of Their Complexes with FKBP12," <u>J. Am. Chem. Soc.</u> , (1993) 115, 9925-9938.					
		Holt, D.A. et al., "Structure-Activity Studies of Nonmacrocyclic Rapamycin Derivatives," <u>Bioorganic &amp; Medicinal Chemistry Letter</u> , (1993) 3:10, 1977-1980.					
	FP	Holt, D.A. et al., "Structure-Activity Studies of Synthetic FKBP Ligands as Peptidyl-prolyl Isomers Inhibitors," <u>Bioorganic &amp; Medicinal Chemistry Letters</u> , (1994) 4:2, 315-320.					
		Hearn, Walter R., and Worthington, Robert E., "L-Proline-N-oxalic anhydride," <u>J. Org. Chem.</u> , 1967, 32(12), 4072-4.					
	FR	Iwabuchi, T. et al., "Effects of immunosuppressive peptidyl-prolyl cis-trans isomerase (PPIase inhibitors, cyclosporin A, FK506, ascomycin and rapamycin, on hair growth initiation in mouse: immunosuppression is not required for hair growth," <u>J. of Dermatol. Sci.</u> , (1995) 9:1, 64-69.					
		Jiang, H. et al., "Induction of anagen in telogen mouse skin by topical application of FK506, a potent immunosuppressant," <u>J. Invest. Dermatol.</u> , (1995) 104:4, 523-525.					
↓	FT	Jones, T. et al., "Chemistry of tricarbonyl hemiketals and application of Evans technology to the total synthesis of the immunosuppressant (-)-FK-506," <u>J. Am. Chem. Soc.</u> , 1990, 112(8), 2998-3017.					
PL	FU	Jones, A. et al., "A formal synthesis of FK-506. Exploration of some alternatives to macrolactamization," <u>J. Org. Chem.</u> , 1990, 55(9), 2786-97.					

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PL	GB	4,578,474	3/25/86	Krapcho et al.			11/19/84

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PL	GC	WO9526337	10/5/95	PCT			Yes
PL	GD	WO9524385	9/14/95	PCT			Yes

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PL	GE	Kaczmar, et al., Makromol. Chem., 1976, 177, 1981-9 (German).
	GF	Karle, Isabella L. et al., "Coformation of the oxalamide group in retro-bispeptides. Three crystal structures," Int. J. Pept. Protein Res., 1994, 43(2), 160-5.
	GG	Kino, Toru et al., "FK-506, A novel immunosuppressnt isolated from A streptomycetes," J. of Antibiotics, 1987, 40(9), 1249-55.
	GH	Kocienski, P. et al., "A synthesis of the C(1)-C(15) segment of tsukubaenolide (FK506)," Tetrahedron Lett., 1988, 29(35), 4481-4.
	GI	Krit, N.A. et al., "Impact of the nature of alkyl radical on the biological activity of N-carboxyalkyl dipeptides," Khim.-Farm. Zh., 1991, 25(7), 44-6. (Russian)
	GJ	Linde, Robert G. et al., "Straightforward synthesis of 1,2,3-tricarbonyl systems," J. Org. Chem., 1991, 56(7), 2534-8.
	GK	Luengo, Juan I. et al., "Efficient removal of pipecolinate from rapamycin and FK506 by reaction with tetrabutylammonium cyanide," Tetrahedron Lett., 1993, 34(29), 4599-602.
	GL	Luengo, J. et al., "Studies on the chemistry of rapamycin: novel transformation under Lewis-acid catalysis," Tetrahedron Lett., 1993, 34(6), 991-4.
	GM	Luengo, J.I. et al., "Synthesis and Structure-Activity Relationships of Macroyclic FKBP Ligands," Bioorganic & Medicinal Chemistry Letters, (1994) 4:2, 321-324.
✓	GN	Luengo, J. et al., "Structure-activity studies of rapamycin analogs: evidence that the C-7 methoxy group is part of the effector domain and positioned at the FKBP:12-FRAP interface," Chem. Biol., 1995, 2(7), 471-81.
PL	GO	Lyons, W. Ernest et al., "Neronal Regeneration Enhances the Expression of the Immunophilin FKBP-12," The Journal of Neuroscience, 1995, 15, 2985-94.

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PL	HA	4,574,079	3/4/86	Gavras, H.P. et al.	—	—	3/4/86
PL	HB	4,531,964	7/30/85	Shimano et al.	—	—	8/29/83

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PL	HC	WO9512572	5/11/95	PCT	—	—	Yes
PL	HD	WO9413629	6/23/94	PCT	—	—	Yes

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PL	HE	Marshall, J.A. et al., "Convenient synthesis of dioxopiperazines via aminolysis of .alpha.- (pyruvylamino) esters, <i>Synth. Commun.</i> , 1975, 5(3), 237-44.
1	HF	Mashkovskii, M.D. et al., "1-[4-(2-Hydroxy-3-tert-butylaminopropoxy)-indole-3-yl (5-acetamido-1-(S)-carboxypentyl)-DL-alanyl]-L-proline dihydrochloride, a new angiotensin-converting enzyme inhibitor with $\beta$ -adrenoblocking properties," <i>Khim.-Farm. Zh.</i> , 1993, 27(10), 16-20. (Russian)
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## FORM PTO-1449

INFORMATION DISCLOSURE CITATION

Attorney Docket 23138S	Serial Number 09/134,419
Applicant Ross, et al.	
Filing Date August 14, 1998	Group Art Unit 1614

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PL	IE	WO9407858	4/14/94	PCT			Yes
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PL	JB	WO9325546	12/23/93	PCT	—	—	Yes
PL	JC	WO9323548	11/15/93	PCT	—	—	Yes

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PK	KD	Stocks, M. et al., "The contribution to the binding of the pyranoside substituents in the excised binding domain of FK-506," Bioorg. Med. Chem. Lett., 1994, 4(12), 1457-60.
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PL	MC	WO9219593	11/12/92	PCT			Yes
	MD	WO9218478	10/29/92	PCT			Yes
	ME	WO9216501	10/1/92	PCT			Yes
	MF	WO9204370	3/19/92	PCT			Yes
	MG	WO9203472	3/5/92	PCT			Yes
	MH	WO9200278	1/9/92	PCT			Yes
	MI	WO9113088	9/5/91	PCT			Yes
	MJ	WO9104985	4/18/91	PCT			Yes
	MK	WO9012805	11/1/90	PCT			Yes
YL	ML	WO8809789	12/15/88	PCT			Yes
YL	MM	ZA9207782	4/28/93	South Africa			Yes

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